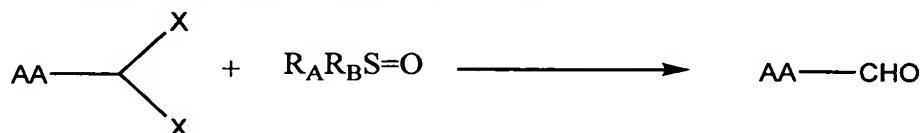


What is Claimed Is:

1. A method comprising reacting a dihalomethyl compound with a
 5 sulfoxide in the absence of an effective amount of an activating reagent to form the
 corresponding aldehyde, according to the reaction:



wherein

- AA represents an aryl group, or an alkenyl or alkynyl group;
 10 X represents F, Cl, Br, or I; and
 R_A and R_B are each an alkyl or aryl group independently selected from the group
 consisting of C₁-C₆ alkyl optionally substituted by a C₄-C₈ cycloalkyl or phenyl group,
 C₄-C₈ cycloalkyl optionally substituted by up to two C₁-C₃ alkyl groups, and phenyl
 optionally substituted by up to five C₁-C₃ alkyl groups.

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2. A method according to claim 1 wherein AA is selected from the group
 consisting of phenyl, naphthyl, indolyl, biphenyl, pyridinyl, pyrrolyl, quinoliny,
 isoquinoliny, pyrimidinyl, furyl, oxazolyl, thioazolyl, and isoxazolyl, and straight,
 branched, cyclic and bicyclic alkenyl and alkynyl groups having from 2 to 12 carbon
 20 atoms, each of which may be substituted or unsubstituted.

3. A method according to claim 2 wherein R_A and R_B are each
 independently selected from the group consisting of phenyl, methyl, ethyl and
 tetramethylene.

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4. A method according to claim 2 wherein AA is selected from the group
 consisting of phenyl, biphenyl and indolyl, each of which may be substituted or
 unsubstituted.

- 30 5. A method according to claim 1 wherein AA is phenyl or biphenyl
 optionally substituted by one to three substituents independently selected from the

group consisting of halogen, cyano, nitro, hydroxy, R_C alkyl, $-C(O)OR_C$ alkyl, $-NR_C R_D$, $-C(O)NR_C R_D$ amide, $S(O)_2 R_C R_D$, $NR_1 C(O)NR_C R_D$, or $-OC(O)NR_C R_D$ group, where R_C and R_D are each C_1 - C_4 alkyl.

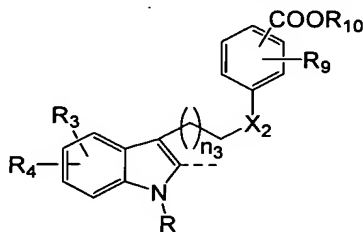
- 5 6. A method according to claim 5 wherein AA is phenyl optionally substituted by one substituent selected from the group consisting of halogen, cyano, nitro, hydroxy, R_C alkyl, $-C(O)OR_C$ alkyl, $-NR_C R_D$, $-C(O)NR_C R_D$ amide, $S(O)_2 R_C R_D$, $NR_1 C(O)NR_C R_D$, or $-OC(O)NR_C R_D$ group, where R_C and R_D are each C_1 - C_4 alkyl.

- 10 7. A method according to claim 6 wherein said reaction occurs at a temperature in the approximate range of 20 -120°C.

8. A method according to claim 1 wherein AA is an optionally substituted 2-indolyl group.

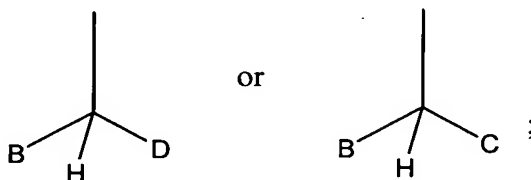
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9. A method according to claim 8 wherein AA is



wherein:

- 20 R is selected from the formulae $-(CH_2)_n-A$, $-(CH_2)_n-S-A$, or $-(CH_2)_n-O-A$, wherein A is selected from the moieties:



wherein

D is C_1 - C_6 lower alkyl, C_1 - C_6 lower alkoxy, C_3 - C_6 cycloalkyl $-CF_3$ or $-(CH_2)_{1-3}-CF_3$;

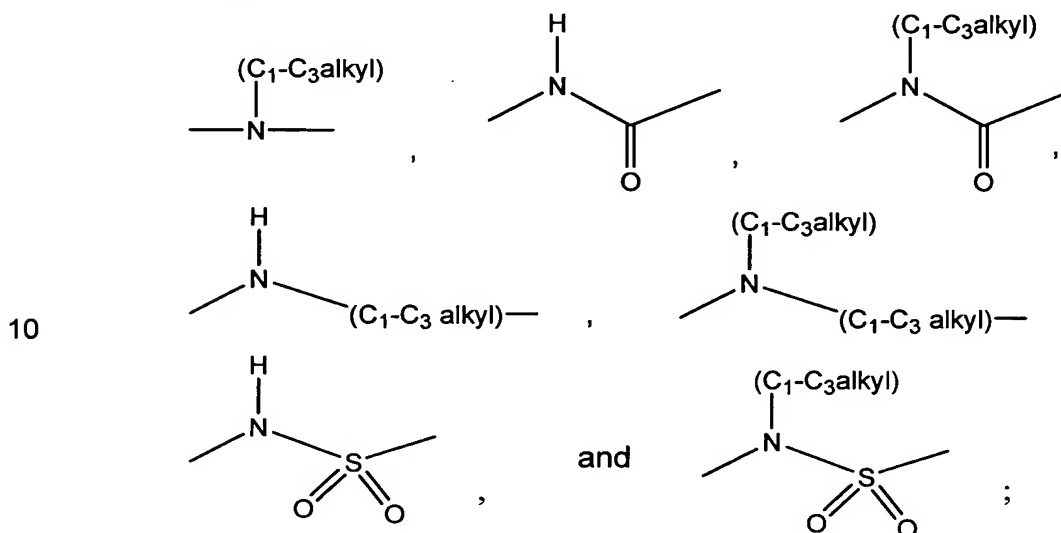
- 25 B and C are independently selected from phenyl, pyridinyl, pyrimidinyl, furanyl, thiophenyl or pyrrolyl groups, each optionally substituted by from 1 to 3,

preferably 1 to 2, substituents selected independently from H, halogen, -CN, -CHO, -CF₃, -OCF₃, -OH, C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -N(C₁-C₆)₂, -NH(C₁-C₆), -N-C(O)-(C₁-C₆), -NO₂, and a 5- or 6-membered heterocyclic or heteroaromatic ring containing 1 or 2 heteroatoms selected from O, N or S;

5 n is an integer from 0 to 3;

n₃ is an integer from 0 to 3;

X₂ is selected from the group consisting of -O-, -CH₂-, -S-, -SO-, -SO₂-, -NH-, -C(O)-,



R₃ is selected from the group consisting of H, halogen, -CN, -CHO, -CF₃, -OCF₃,

-OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ thioalkyl, -NH₂, -N(C₁-C₆)₂, -NH(C₁-C₆), -N-C(O)-(C₁-C₆), and -NO₂;

15 R₄ is selected from H, halogen, -CN, -CHO, -CF₃, -OCF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ thioalkyl, -NH₂, -N(C₁-C₆)₂, -NH(C₁-C₆), -N-C(O)-(C₁-C₆), -NO₂, -N-C(O)-N(C₁-C₃ alkyl)₂, -N-C(O)-NH(C₁-C₃ alkyl), -N-C(O)-O-(C₁-C₃ alkyl), -SO₂-C₁-C₆ alkyl, -S-C₃-C₆ cycloalkyl, -S-CH₂-C₃-C₆ cycloalkyl, -SO₂-C₃-C₆ cycloalkyl, -SO₂-CH₂-C₃-C₆ cycloalkyl, C₃-C₆ cycloalkyl, -CH₂-C₃-C₆ cycloalkyl, -O-C₃-C₆ cycloalkyl, -O-CH₂-C₃-C₆ cycloalkyl, phenyl, benzyl, benzyloxy, morpholino or other heterocycles such as pyrrolidino, piperidine, piperazine, furan, thiophene, imidazole, tetrazole, pyrazine, pyrazolone, pyrazole, imidazole, oxazole and isoxazole, the rings of each of these R₄ groups each being optionally substituted by from 1 to 3 substituents
25 selected from the group of H, halogen, -CN, -CHO, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆

alkoxy, $-\text{NH}_2$, $-\text{N}(\text{C}_1\text{-C}_6)_2$, $-\text{NH}(\text{C}_1\text{-C}_6)$, $-\text{N-C}(\text{O})-(\text{C}_1\text{-C}_6)$, $-\text{NO}_2$, $-\text{SO}_2(\text{C}_1\text{-C}_3 \text{ alkyl})$, $-\text{SO}_2\text{NH}(\text{C}_1\text{-C}_3 \text{ alkyl})$, $-\text{SO}_2\text{N}(\text{C}_1\text{-C}_3 \text{ alkyl})_2$, and OCF_3 ;

R_9 is selected from the group consisting of H, halogen, $-\text{CN}$, $-\text{CHO}$, $-\text{CF}_3$, $-\text{OH}$, $-\text{C}_1\text{-C}_6 \text{ alkyl}$, $\text{C}_1\text{-C}_6 \text{ alkoxy}$, $-\text{NH}_2$, $-\text{N}(\text{C}_1\text{-C}_6)_2$, $-\text{NH}(\text{C}_1\text{-C}_6)$, $-\text{N-C}(\text{O})-(\text{C}_1\text{-C}_6)$, and $-\text{NO}_2$; and,

R_{10} is a $\text{C}_1\text{-C}_6 \text{ alkyl}$ group.

10. A method according to claim 9 wherein R_A and R_B are each independently selected from the group consisting of phenyl, methyl, ethyl and tetramethylene.

11. A method according to claim 10 wherein R_A and R_B are each methyl.

12. A method according to claim 11 wherein said reaction occurs at a temperature in the approximate range of $15\text{-}35^\circ\text{C}$.

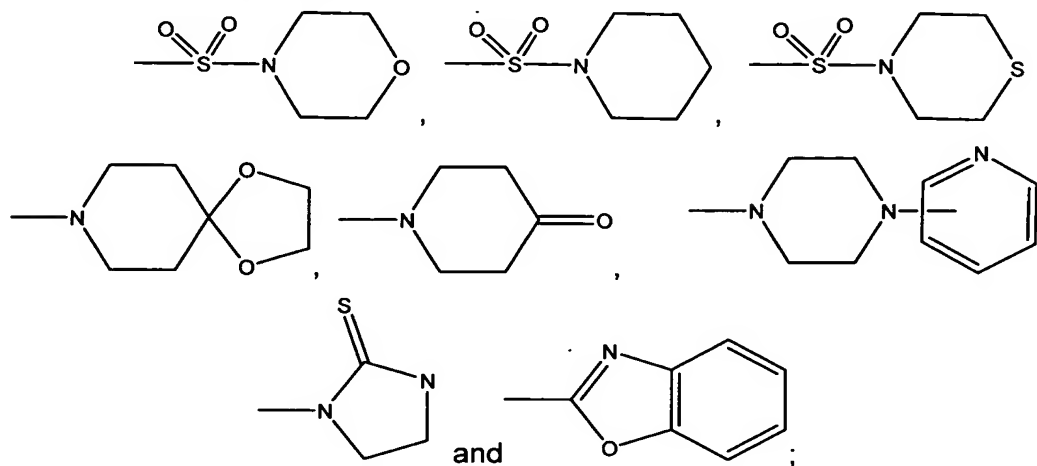
13. A method according to claim 11 further comprising:

a) reacting said aldehyde with nitromethane and a catalytic amount of ammonium acetate, followed by reduction with a $\text{Zn}(\text{Hg})$ amalgam to convert the $-\text{CHO}$ group to an ethylamine group;

b) reacting the ethylamine compound with $\text{ClSO}_2(\text{CH}_2)_{n2}\text{X}_1\text{R}_1$, wherein

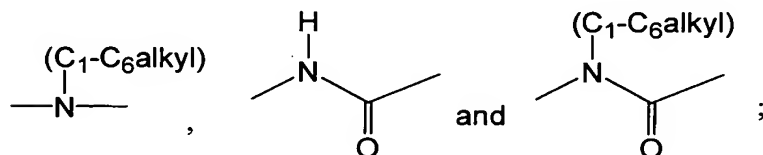
R_1 is a moiety selected from $\text{C}_1\text{-C}_6 \text{ alkyl}$, $\text{C}_1\text{-C}_6 \text{ fluorinated alkyl}$, $\text{C}_3\text{-C}_6 \text{ cycloalkyl}$, tetrahydropyranyl, camphoryl, adamantyl, CN , $-\text{N}(\text{C}_1\text{-C}_6 \text{ alkyl})_2$, phenyl, pyridinyl, pyrimidinyl, furyl, thienyl, naphthyl, morpholinyl, triazolyl, pyrazolyl, piperidinyl, pyrrolidinyl, imidazolyl, piperizinyl, thiazolidinyl, thiomorpholinyl, tetrazole, indole, benzoxazole, benzofuran, imidazolidine-2-thione, 7,7-dimethyl-bicyclo[2.2.1]heptan-2-one, Benzo[1,2,5]oxadiazole, 2-Oxa-5-aza-bicyclo[2.2.1]heptane, Piperazin-2-one or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents independently selected from H, halogen, $-\text{CN}$, $-\text{CHO}$, $-\text{CF}_3$, OCF_3 , $-\text{OH}$, $-\text{C}_1\text{-C}_6 \text{ alkyl}$, $\text{C}_1\text{-C}_6 \text{ alkoxy}$, $-\text{NH}_2$, $-\text{N}(\text{C}_1\text{-C}_6)_2$, $-\text{NH}(\text{C}_1\text{-C}_6)$, $-\text{N-C}(\text{O})-(\text{C}_1\text{-C}_6)$, $-\text{NO}_2$, $-\text{SO}_2(\text{C}_1\text{-C}_3 \text{ alkyl})$, $-\text{SO}_2\text{NH}_2$, $-\text{SO}_2\text{NH}(\text{C}_1\text{-C}_3 \text{ alkyl})$, $-\text{SO}_2\text{N}(\text{C}_1\text{-C}_3 \text{ alkyl})_2$, $-\text{COOH}$, $-\text{CH}_2\text{-COOH}$, $-\text{CH}_2\text{-N}(\text{C}_1\text{-C}_6 \text{ alkyl})$, $-\text{CH}_2\text{-N}(\text{C}_1\text{-C}_6 \text{ alkyl})_2$, $-\text{CH}_2\text{-NH}_2$, pyridine, 2-Methyl-thiazole, morpholino, 1-Chloro-2-methyl-propyl, $-\text{C}_1\text{-}$

C₆thioalkyl, phenyl (further optionally substituted with halogens), benzyloxy, (C₁-C₃ alkyl)C(O)CH₃, (C₁-C₃ alkyl)OCH₃, C(O)NH₂, and

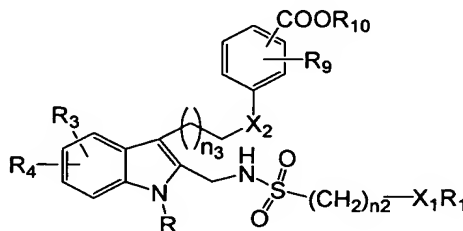


X₁ is selected from a chemical bond, -S-, -O-, -S(O)-, -S(O)₂-, -NH-, -NHC(O)-

, -C=C-,



and, n₂ is an integer from 0 to 4,
to form a final compound of formula



- 15 14. The method of claim 13 further comprising hydrolyzing the ester group
of the final compound to form a compound of the formula

